TITLE: Antitumor guanine 7-oxides and a process for their

preparation

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PATENT ASSIGNEE(S): Ikeda Mohando Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.

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GI				

AB Guanine 7-oxide derivs. (I; R1 = C2-6 alkyl, CH2CH:CH2, cycloalkyl, Q; R2, R3 = H, C1-6 alkoxy or R2R3 = OCH2CH2O) having antitumor activity were prepared To a solution of 7.8 1N NaOH and 19 mL EtOH, 1.00g 2-amino-6-chloro-5-nitro-4-pyrimidinone and under ice cooling 1.7g PrNHCH2COPh (preparation given) were added and the mixture was refluxed for 20 min to give 60% a pyrimidine derivative II (R1 = Pr). A solution of the latter compound in 2N NaOH was stirred for 1h at room temperature to give 87% I (R1 = Pr). I (R1 = CH2Ph) in vitro inhibited the proliferation of mouse leukemia cells L-5178Y with an IC50 of 13.0 μ g/mL.

IT 112698-40-5P 112698-42-7P 112698-43-8P 112698-44-9P 117233-74-6P 117233-75-7P 117233-76-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of, quanine oxide derivative from)

RN 112698-40-5 ZCAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-nitro-6-[(2-oxo-2-phenylethyl)propylamino]- (9CI) (CA INDEX NAME)

RN 112698-42-7 ZCAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-nitro-6-[(2-oxo-2-phenylethyl)(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 112698-43-8 ZCAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-6-[[(4-methoxyphenyl)methyl](2-oxo-2-phenylethyl)amino]-5-nitro-(9CI) (CA INDEX NAME)

RN 112698-44-9 ZCAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-6-[cyclohexyl(2-oxo-2-phenylethyl)amino]-5-nitro-(9CI) (CA INDEX NAME)

RN 117233-74-6 ZCAPLUS

CN 4(1H) -Pyrimidinone, 2-amino-6-[(1,3-benzodioxol-5-ylmethyl)(2-oxo-2-

phenylethyl)amino]-5-nitro- (9CI) (CA INDEX NAME)

RN 117233-75-7 ZCAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-6-[[(2-methoxyphenyl)methyl](2-oxo-2-phenylethyl)amino]-5-nitro-(9CI) (CA INDEX NAME)

RN 117233-76-8 ZCAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-6-[[(3,4-dimethoxyphenyl)methyl](2-oxo-2-phenylethyl)amino]-5-nitro-(9CI) (CA INDEX NAME)